(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 14 July 2005 (14.07.2005)

PCT

(10) International Publication Number WO 2005/063749 A1

(51) International Patent Classification⁷: C07D 471/16, A61K 31/4985, A61P 3/04, 25/32, 25/34, 29/00

(21) International Application Number:

PCT/IB2004/004242

(22) International Filing Date:

20 December 2004 (20.12.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/532,032

22 December 2003 (22.12.2003) US

(71) Applicants (for all designated States except US): SB PHARMCO PUERTO RICO INC [US/US]; The Prentice Hall Corp. System of PR Inc, c/o FGR Corporate Services Inc, BBV Tower 8th Floor, 254 Munoz Rivera Avenue, San Juan, Puerto Rico 00918 (US). NEUROCRINE BIOSCIENCES INC [US/US]; 12790 El Camino Real, San Diego, California 92130 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LUO, Zhiyong [CN/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US). TELLEW, John, Edward [US/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US).

WILLIAMS, John [US/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

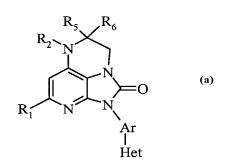
Published:

with international search report

 before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CRF RECEPTOR ANTAGONISTS AND METHODS RELATING THERETO



(57) Abstract: CRF receptor antagonists are disclosed which have utility in the treatment of a variety of disorders in mammals, including the treatment of disorders, such as stroke, manifesting hypersecretion of CRF. The CRF receptor antagonists of this invention have the following structure (a) including stereoisomers, prodrugs and pharmaceutically acceptable salts thereof, wherein R1, R2, R5, Ar, and Het are as defined herein. Compositions containing a CRF receptor antagonist in combination with a pharmaceutically acceptable carrier are also disclosed, as well as methods for use of the same.